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(54) Title: PROCESS FOR PRODUCING DERIVATIVES OF 4a,5,9,10,11,12,-HEXAHYDRO-6H-BENZOFURO[3a,3,2-cf][2]BENZAZEPINE

(54) Bezeichnung: VERFAHREN ZUM HERSTELLEN VON DERIVATEN DES 4a,5,9,10,11,12,-HEXAHYDRO-6H-BENZOFURO[3a,3,2-ef][2]BENZAZEPINS

(57) Abstract

The proposal is for a process for producing derivatives of 4a,5,9,10,11,12-hexahydro-6H-benzofuro[3,2-ef][2]benzazepine facilitating in particular the production of galanthamine (6) via the novel bromine-N-demethyl galanthamine (4) and the novel bromine galanthamine (5). In this process, the compound (2) is oxidatively cyclised into the compound (3). The compound (3) is diastereo-selectively reduced by L-selectrides to the novel bromine-N-demethyl galanthamine (4) without the formation of detectable quantities of epibromine-N-demethyl galanthamine. The novel bromine galanthamine (5) is obtained by methylation, from which by separation of bromine (+/-) galanthamine (6) is produced. Pure enantiomers can be demonstrated by precipitation as a salt of a chiral acid (especially a tartrate). The process shown in the reaction diagram below gives high yields and pure products at all stages and can thus be conducted on the industrial scale.

